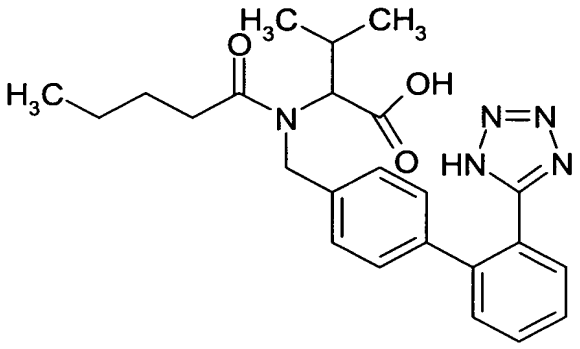
A NOVEL AMORPHOUS FORM OF VALSARTAN

FIELD OF THE INVENTION

The present invention relates to a novel amorphous form of valsartan, to a process for its preparation and to a pharmaceutical composition containing it.

BACKGROUND OF THE INVENTION

Valsartan of formula (1):



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or N-(1-Oxopentyl)-N-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-L-valine, is an antihypertensive agent and its therapeutic uses are disclosed in US 5,399,578. No polymorphs of valsartan are reported in the literature.

We discovered a sufficiently stable amorphous form of valsartan, which is found to be suitable for pharmaceutical composition.

The object of the present invention is to provide a novel stable amorphous form of valsartan, process the preparing it and a pharmaceutical composition containing it.

DETAILED DESCRIPTION OF THE INVENTION

The present invention provides a novel amorphous form of valsartan (hereinafter referred to as amorphous valsartan). The amorphous valsartan is characterized by having broad x-ray diffraction spectrum as in figure 1.

A further aspect of the present invention provides a process for the preparation of amorphous valsartan. Amorphous valsartan is prepared by dissolving valsartan in an alcohol or a mixture of alcohols. The alcohol is selected from the group consisting of methanol, ethanol, isopropyl alcohol, tert-butyl alcohol and n-butyl alcohol. The solvent may be removed from the solution by vacuum drying or spray drying.

A further aspect of the present invention provides a pharmaceutical composition comprising amorphous valsartan and a pharmaceutically acceptable carrier.

BRIEF DESCRIPTION OF THE DRAWINGS

Figure 1 is a x-ray powder diffraction spectrum of amorphous valsartan.

x-Ray powder diffraction spectrum was measured on a Siemens D5000 x-ray powder diffractometer having a copper-Kα radiation.

The following examples further illustrate the invention.

Example 1

Valsartan (10 gm), (obtained by the process described in example-16 of US 5,399,578) is dissolved in methanol (50 ml). The solution is subjected to vacuum drying at about 40^{0}C for 10 hours to give 9.8 gm of amorphous valsartan.

Example 2

Example 1 is repeated by subjecting the solution to spray drying instead of vacuum drying to give amorphous valsartan.

Example 3

Valsartan (10 gm), (obtained by the process described in example-16 of US 5,399,578) is dissolved in ethanol (60 ml). The solution is subjected to vacuum drying at about 45^{0}C for 12 hours to give 9.7 gm of amorphous valsartan.

Example 4

Example 3 is repeated by subjecting the solution to spray drying instead of vacuum drying to give amorphous valsartan.

Example 5

Valsartan (10 gm) is dissolved in isopropyl alcohol (70 ml). The solution is subjected to vacuum drying at about 45^0C for 15 hours to give 9.9 gm of amorphous valsartan.